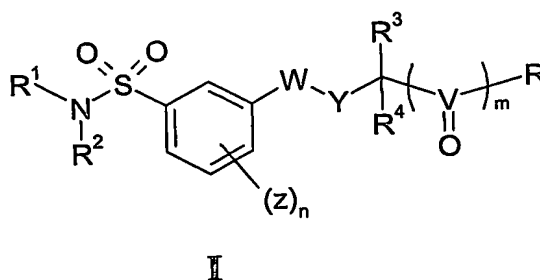


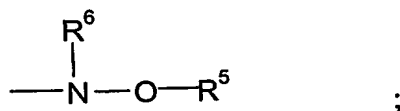
**We claim:**

1. A compound of formula I, a pharmaceutically acceptable salt thereof, diastereomers, enantiomers, or mixtures thereof:



wherein

R is H, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkylamino, optionally substituted cycloalkylamino, optionally substituted arylamino, optionally substituted aminoaryl, optionally substituted heteroarylamino, optionally substituted aminoheteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, heteroaryl substituted with an optionally substituted heteroaryl group, or



R<sup>1</sup> and R<sup>2</sup> are each, independently, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted alkylamino, or a divalent C<sub>1-8</sub> group, or R<sup>1</sup>, R<sup>2</sup>, and the N to which they are attached, in combination, form a heterocycle which is optionally substituted with one or more substituents;

W is C=O, CH<sub>2</sub>, C=NR<sup>7</sup>, C=S, or optionally substituted alkyl;

Y is CH<sub>2</sub>, NR<sup>8</sup>, O, S, SO, or SO<sub>2</sub>; or

W and Y together are -CH=CH-;

V is C or S;

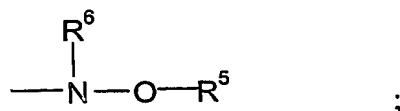
m is 0 or 1;

Z is, at each position, independently, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy, or optionally substituted alkylamino;

n is 0, 1, 2, 3, or 4; and

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, and R<sup>8</sup> are each, independently, H or optionally substituted alkyl.

2. The compound of claim 1, wherein R is H, optionally substituted C<sub>1-12</sub> alkyl, optionally substituted C<sub>3-12</sub> cycloalkyl, optionally substituted C<sub>2-12</sub> heterocycloalkyl, optionally substituted C<sub>6-12</sub> aryl, optionally substituted C<sub>2-12</sub> heteroaryl, optionally substituted C<sub>1-6</sub> alkylamino, optionally substituted C<sub>3-12</sub> cycloalkylamino, phenylamino, aminophenyl, optionally substituted pyridylamino, optionally substituted aminopyridyl, optionally substituted C<sub>1-6</sub> alkoxy, optionally substituted aryloxy, or



R<sup>1</sup> and R<sup>2</sup> are each, independently, optionally substituted C<sub>1-6</sub> alkyl, optionally substituted C<sub>3-6</sub> cycloalkyl, optionally substituted C<sub>3-6</sub> heterocycloalkyl, optionally substituted C<sub>1-6</sub> alkylamino, or a C<sub>1-8</sub> alkylene group, or R<sup>1</sup>, R<sup>2</sup>, and the N to which they are attached, in combination, form a heterocycle which is optionally substituted with one or more substituents;

W is C=O or CH<sub>2</sub>;

Y is CH<sub>2</sub>, NR<sup>8</sup>, or O;

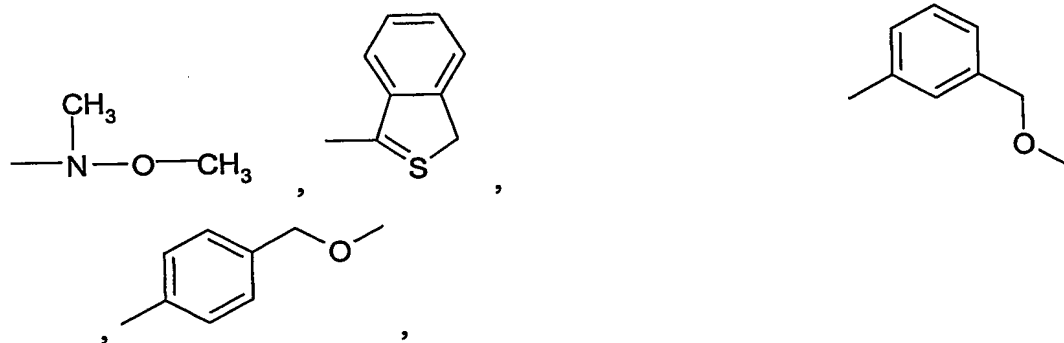
V is C;

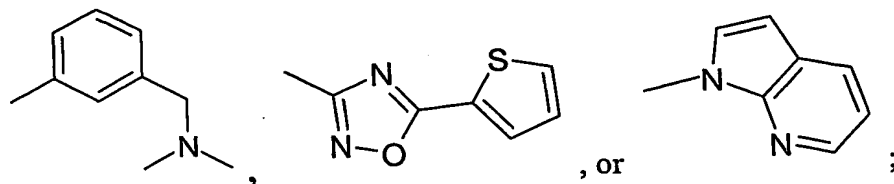
m is 1; and

Z is, at each position, independently, halogen, optionally substituted C<sub>1-12</sub> alkyl, optionally substituted C<sub>1-6</sub> alkoxy, or optionally substituted C<sub>1-6</sub> alkylamino.

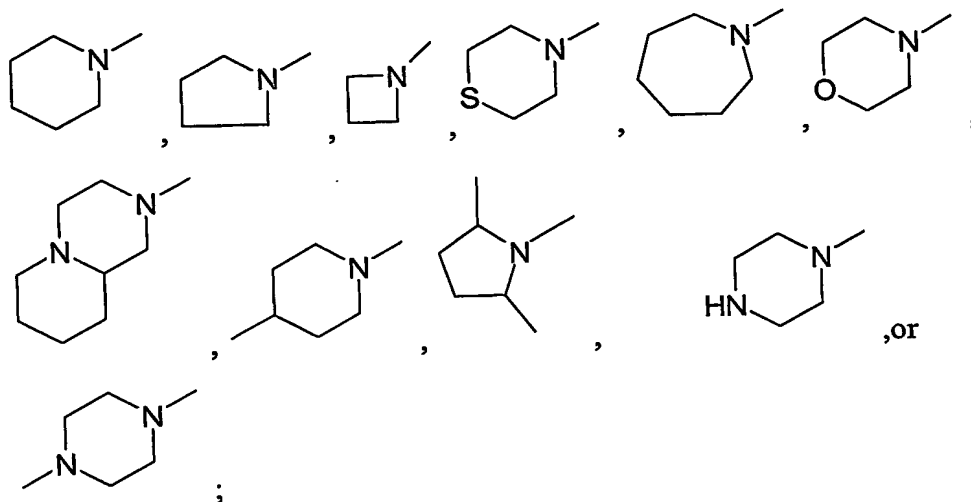
3. The compound of claim 1, wherein

R is phenyl, dimethoxyphenyl, methoxyphenyl, chlorophenyl, pyridyl, fluorophenyl, ethyl, naphthyl, *t*-butyl, optionally substituted pyrrolidinyl, N-methylphenylamino, optionally substituted piperidinyl, cyclohexyl, quinolinyl,





$R^1$  and  $R^2$  are each independently  $C_{1-6}$  alkyl, or  $R^1$ ,  $R^2$ , and the N to which they are attached, in combination, are



W is  $CH_2$  or  $C=O$ ;

Y is  $CH_2$ , NH,  $NCH_3$ , or O;

V is C;

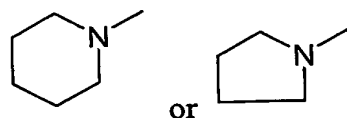
m is 1;

Z is, at each position, independently, Cl, F, methoxy, or methyl; and

$R^3$  and  $R^4$  are each, independently, H, methyl, or ethyl.

4. The compound of claim 3, wherein

$R^1$ ,  $R^2$ , and the N to which they are attached, in combination, are



5. The compound of claim 1, wherein Z is 2-chloro.

6. A compound selected from:

2,4-dichloro-5-(piperidine-1-sulfonyl)-benzoic acid 2-oxo-2-phenyl-ethyl ester;  
 2,4-dichloro-5-(pyrrolidine-1-sulfonyl)-benzoic acid 2-oxo-2-phenyl-ethyl ester;  
 5-(azetidine-1-sulfonyl)-2,4-dichloro-benzoic acid 2-oxo-2-phenyl-ethyl;

2,4-dichloro-5-(thiomorpholine-4-sulfonyl)benzoic acid 2-oxo-2-phenylethyl ester;  
 2,4-dichloro-5-diethylsulfamoylbenzoic acid 2-oxo-2-phenylethyl ester;  
 5-(azepane-1-sulfonyl)-2,4-dichlorobenzoic acid 2-oxo-2-phenylethyl ester;  
 2-methoxy-5-(piperidine-1-sulfonyl)benzoic acid 2-oxo-2-phenylethyl;  
 2-chloro-5-(piperidine-1-sulfonyl)benzoic acid 2-oxo-2-phenylethyl;  
 2-chloro-4-fluoro-5-(piperidine-1-sulphonyl)benzoic acid 2-oxo-phenylethyl ester;  
 2-chloro-5-(piperidine-1-sulfonyl)benzoic acid 2-(2,5-dimethoxyphenyl)-2-oxoethyl  
 ester;  
 2-chloro-5-(piperidine-1-sulfonyl)benzoic acid 2-(3-methoxyphenyl)-2-oxoethyl  
 ester;  
 2-chloro-5-(piperidine-1-sulfonyl)benzoic acid 2-(3-chlorophenyl)-2-oxoethyl ester;  
 2-methyl-5-(piperidine-1-sulfonyl)benzoic acid 2-oxo-2-phenylethyl ester;  
 2-fluoro-5-(piperidine-1-sulfonyl)benzoic acid 2-oxo-2-phenylethyl ester;  
 2-chloro-5-(piperidine-1-sulfonyl)benzoic acid 2-oxo-2-pyridin-2-ylethyl ester;  
 2-chloro-5-(piperidine-1-sulphonyl)benzoic Acid 1-methyl-2-oxo-2-phenylethyl  
 ester;  
 2-chloro-5-(piperidine-1-sulphonyl)benzoic acid 2-(4-fluorophenyl)-2-oxoethyl ester;  
 2-chloro-5-(piperidine-1-sulphonyl)benzoic acid 2-oxo-2-p-tolyethyl ester;  
 2-chloro-5-(piperidine-1-sulphonyl)benzoic acid 2-oxobutyl;  
 2-chloro-5-(piperidine-1-sulphonyl)benzoic acid 2-naphthalen-2-yl-2-oxoethyl ester;  
 2-chloro-5-(piperidine-1-sulphonyl)benzoic acid 2-benzo[b]thiophen-3-yl-2-oxoethyl  
 ester;  
 2-chloro-5-(piperidine-1-sulphonyl)benzoic acid 3,3-dimethyl-2-oxobutyl ester;  
 2-chloro-5-(morpholine-4-sulphonyl)benzoic acid 2-oxo-2-phenylethyl ester;  
 5-(butylethylsulphamoyl)-2-chlorobenzoic acid 2-oxo-2-phenylethyl ester;  
 2-chloro-5-dimethylsulphamoylbenzoic acid 2-oxo-2-phenylethyl;  
 2-chloro-5-(octahydropyrido[1,2-a]pyrazine-2-sulphonyl)benzoic acid 2-oxo-2-  
 phenylethyl ester;  
 2-chloro-5-(4-methylpiperidine-1-sulphonyl)benzoic acid 2-oxo-2-phenylethyl ester;  
 2-chloro-5-(2,5-dimethylpyrrolidine-1-sulphonyl)benzoic acid 2-oxo-2-phenylethyl  
 ester;  
 3-(piperidine-1-sulphonyl)benzoic acid 2-oxo-2-phenylethyl ester;  
 N-2-oxo-2-phenethyl 2,4-dichloro-5-[piperidinosulfonyl] benzamide;  
 2-chloro-4-fluoro-N-(2-oxo-2-phenylethyl)-5-(piperidine-1-sulphonyl) benzamide;

2-oxo-2-phenethyl 2,4-dichloro-5-[piperazinosulfonyl] benzoate hydrochloride;  
 2-oxo-2-phenethyl 2,4-dichloro-5-[4-methyl-piperazinosulfonyl] benzoate  
 hydrochloride;  
 2,4-dichloro-5-[piperidiinosulfonyl] benzyloxyacetophenone;  
 2-chloro-5-(piperidine-1-sulphonyl)benzoic acid 1,1-dimethyl-2-oxo-2-phenylethyl  
 ester;  
 [2-chloro-5-(piperidine-1-sulphonyl)benzylamino]acetic acid tert-butyl ester;  
 {[2-chloro-5-(piperidine-1-sulfonyl)-benzyl]-methyl-amino}-acetic acid tert-butyl  
 ester;  
 (2-chloro-5-(piperidine-1-sulphonyl)benzyloxy)acetic acid tert-butyl ester;  
 2-[2-chloro-5-(piperidine-1-sulfonyl)benzyloxy]propionic acid tert-butyl ester;  
 2-[2-chloro-5-(piperidine-1-sulfonyl)benzyloxy]butyric acid tert-butyl ester;  
 2-(2-chloro-5-(piperidine-1-sulphonyl)benzyloxy)-1-pyrrolidin-1-ylethanone;  
 2-(2-chloro-5-(piperidine-1-sulphonyl)benzyloxy)-N-methyl-N-phenylacetamide;  
 N-tert-butyl-2-(2-chloro-5-(piperidine-1-sulphonyl)benzyloxy)acetamide;  
 (1-(2-(2-chloro-5-piperidine-1-sulphonyl)benzyloxy)acetyl)pyrrolidin-3-yl)carbamic  
 acid tert-butyl ester;  
 (1-(2-(2-chloro-5-(piperidine-1-sulphonyl)benzyloxy)acetyl)piperidin-4-yl)carbamic  
 acid tert-butyl ester;  
 2-[2-chloro-5-(piperidine-1-sulfonyl)-benzyloxy]-N-pyridin-2-yl-acetamide;  
 2-[2-chloro-5-piperidine-1-sulphonyl)benzyloxy]-1-piperidin-1-ylethanone;  
 2-[2-chloro-5-(piperidine-1-sulfonyl)-benzyloxy]-N-methyl-N-pyridin-2-yl-  
 acetamide;  
 2-(2,4-dichloro-5-(piperidine-1-sulphonyl)benzyloxy)-N-methoxy-N-  
 methylacetamide;  
 2-(2-chloro-5-(piperidine-1-sulphonyl)benzyloxy)-N-methoxy-N-methylacetamide;  
 N-methoxy-2-[2-methoxy-5-(piperidine-1-sulphonyl)-benzyloxy)-N-methyl-  
 acetamide;  
 N-methoxy-2-[2-methoxy-5-(piperidine-1-sulphonyl)-benzyloxy)-N-methyl-  
 acetamide;  
 2-[2-bromo-5-(piperidine-1-sulphonyl)-benzyloxy)-N-methoxy-N-methyl-acetamide;  
 N-methoxy-N-methyl-2-[5-(piperidine-1-sulphonyl)-2-trifluoromethoxy-benzyloxy]-  
 acetamide;

2-(1-(2-chloro-5-(piperidine-1-sulfonyl)-phenyl)-ethoxy)-N-methoxy-N-methyl-  
 acetamide;  
 2-[2-chloro-5-(thiomorpholine-4-sulfonyl)-benzyloxy]-N-methoxy-N-methyl-  
 acetamide;  
 2-[2-chloro-5-(piperidine-1-sulphonyl)benzyloxy]-1-(3-methoxyphenyl)ethanone;  
 2-[2-chloro-5-(piperidine-1-sulphonyl)benzyloxy]-1-cyclohexylethanone;  
 2-[2-chloro-5-(piperidine-1-sulfonyl)benzyloxy]-1-(2,5-dimethoxyphenyl)ethanone;  
 2-[2,4-dichloro-5-(piperidine-1-sulphonyl)benzyloxy]-1-(3-methoxyphenyl)ethanone;  
 1-(3-chlorophenyl)-2-[2-chloro-5-(piperidine-1-sulphonyl)benzyloxy]-ethanone;  
 1-(3-chlorophenyl)-2-[2,4-dichloro-5-(piperidine-1-sulphonyl)benzyloxy]-ethanone;  
 2-[2,4-dichloro-5-(piperidine-1-sulphonyl)benzyloxy]-1-naphthalen-2-ylethanone;  
 2-[2-chloro-5-(piperidine-1-sulphonyl)benzyloxy]-1-naphthalen-2-ylethanone;  
 4-chloro-N,N-dimethyl-3-(2-oxo-2-phenylethoxymethyl)benzenesulphonamide;  
 4-chloro-N,N-diethyl-3-(2-oxo-2-phenylethoxymethyl)benzenesulphonamide;  
 4-chloro-N-ethyl-N-methyl-3-(2-oxo-2-phenylethoxymethyl)benzenesulphonamide;  
 4-chloro-N-methyl-3-(2-oxo-2-phenylethoxymethyl)-N-propyl-  
 benzenesulphonamide;  
 2-[2-bromo-5(piperidine-1-sulphonyl)-benzyloxy]-1-phenyl-ethanone;  
 2-[2-chloro-5-(thiomorpholine-4-sulfonyl)-benzyloxy]-1-phenyl-ethanone;  
 2-(2-chloro-5-(piperidine-1-sulfonyl)-benzyloxyl)-1-(1H-pyrrolo(2,3-b)-pyridin-3-  
 yl)-ethanone;  
 2-[2-chloro-5-(4-methylpiperazine-1-sulfonyl)benzyloxy]-1-pyridin-2-yl-ethanone;  
 2-[2-chloro-5-(piperidine-1-sulphonyl)benzyloxy]-1-pyridin-3-ylethanone;  
 2-[2-chloro-5-(piperidine-1-sulphonyl)benzyloxy]-1-pyridin-4-ylethanone;  
 2-[2-chloro-5-(piperidine-1-sulphonyl)benzyloxy]-1-pyridin-2-ylethanone;  
 4-chloro-N,N-dimethyl-3-(2-oxo-2-pyridin-3-ylethoxymethyl)benzenesulphonamide;  
 2-[2-chloro-5-(piperidine-1-sulphonyl)benzyloxy]-1-quinolin-8-ylethanone;  
 4-chloro-N,N-dimethyl-3-(2-oxo-2-pyridin-2-ylethoxymethyl)benzenesulphonamide;  
 4-chloro-N-ethyl-N-methyl-3-(2-oxo-2-pyridin-2-  
 ylethoxymethyl)benzenesulphonamide;  
 4-chloro-N,N-diethyl-3-(2-oxo-2-pyridin-2-ylethoxymethyl)benzenesulphonamide;  
 4-chloro-N-methyl-3-(2-oxo-2-pyridin-2-ylethoxymethyl)-N-propyl-  
 benzenesulphonamide;

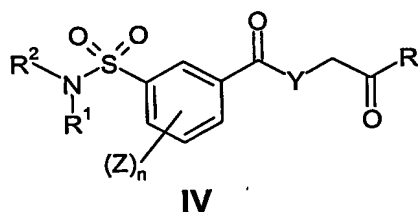
4-chloro-N-ethyl-N-methyl-3-(2-oxo-2-pyridin-3-ylethoxymethyl)benzenesulphonamide;  
4-chloro-N,N-diethyl-3-(2-oxo-2-pyridin-3-ylethoxymethyl)benzenesulphonamide;  
2-[2-chloro-5-(piperidine-1-sulfonyl)-benzyloxy]-1-(4-methyl-pyridin-2-yl)-ethanone;  
2-[2-chloro-5-(piperidine-1-sulfonyl)-benzyloxy]-1-(6-methyl-pyridin-2-yl)-ethanone;  
2-[2-chloro-5-(piperidine-1-sulfonyl)-benzyloxy]-1-(5-methyl-pyridin-2-yl)-ethanone;  
4-chloro-N-ethyl-N-methyl-3-[2-(4-methyl-pyridin-2-yl)-2-oxo-ethoxymethyl]-benzenesulfonamide;  
4-chloro-N-ethyl-N-methyl-3-[2-(6-methyl-pyridin-2-yl)-2-oxo-ethoxymethyl]-benzenesulfonamide;  
4-chloro-N-ethyl-N-methyl-3-[2-(5-methyl-pyridin-2-yl)-2-oxo-ethoxymethyl]-benzenesulfonamide;  
2-[2-chloro-5-(piperidine-1-sulfonyl)-benzyloxy]-1-(3-methyl-pyridin-2-yl)-ethanone;  
4-chloro-N-ethyl-N-methyl-3-[2-(3-methyl-pyridin-2-yl)-2-oxo-ethoxymethyl]-benzenesulfonamide;  
1-(2-bromo-5-dimethylamino-pyridin-4-yl)-2-[2-chloro-5-(piperidine-1-sulfonyl)-benzyloxy]-ethanone;  
2-[2-methoxy-5-(piperidine-1-sulfonyl)-benzyloxy]-1-pyridin-2-yl-ethanone;  
2-[2-methyl-5-(piperidine-1-sulfonyl)-benzyloxy]-1-pyridin-2-yl-ethanone;  
2-5-(piperidine-1-sulfonyl)-2-trifluoromethoxy-benzyloxy]-1-pyridin-2-yl-ethanone;  
2-(1-(2-chloro-5-(piperidine-1-sulfonyl)-phenyl)-ethoxy)-1-pyridine-2-yl-ethanone;  
2-(1-(2-chloro-5-(piperidine-1-sulfonyl)-phenyl)-ethoxy)-1-pyridine-3-yl-ethanone;  
2-(2-chloro-5-(piperidine-1-sulfonyl)-benzyloxy)-1-pyrazine-2-yl-ethanone;  
2-(2-chloro-5-(piperidine-1-sulfonyl)-benzyloxy)-1-(1-methyl-1H-imidazol-2-yl)-ethanone;  
2-(2-chloro-5-(piperidine-1-sulfonyl)-benzyloxy)-1-(1-pyridin-2-yl-1H-imidazol-2-yl)-ethanone;  
2-(2-chloro-5-(piperidine-1-sulfonyl)-benzyloxy)-1-(1-methyl-1H-indol-5-yl)-ethanone;

2-[2-chloro-5-(piperidine-1-sulfonyl)benzyloxy]-1-pyridin-2-yl-propan-1-one;  
 2-[2,4-dichloro-5-(piperidine-1-sulphonyl)benzyloxy]-1-(3-methoxymethylphenyl)ethanone;  
 2-[2,4-dichloro-5-(piperidine-1-sulphonyl)benzyloxy]-1-(4-methoxymethylphenyl)ethanone;  
 2-[2,4-dichloro-5-(piperidine-1-sulphonyl)benzyloxy]-1-(3-dimethylaminomethylphenyl)ethanone;  
 2-[2-chloro-5-(piperidine-1-sulfonyl)benzyloxy]-1-(3-dimethylaminomethylphenyl)ethanone;  
 2-(2-Oxo-2-phenyl-ethoxymethyl)-4-(piperidine-1-sulfonyl)-benzonitrile;  
 4-[2-chloro-5-(piperidine-1-sulfonyl)phenyl]-4-oxobutyraldehyde;  
 4-[2-methyl-5-(piperidine-1-sulfonyl)phenyl]-4-oxobutyraldehyde;  
 4-[2-chloro-5-(piperidine-1-sulfonyl)phenyl]-butyraldehyde;  
 1-[2-chloro-5-(piperidine-1-sulfonyl)phenyl]-4-naphthalen-2-ylbutane-1,4-dione;  
 1-[2-chloro-5-(piperidine-1-sulfonyl)phenyl]-4-naphthalen-1-ylbutane-1,4-dione;  
 1-[2-chloro-5-(piperidine-1-sulfonyl)phenyl]-4-phenylbutane-1,4-dione;  
 1-[2-chloro-5-(piperidine-1-sulfonyl)phenyl]-4-pyridin-3-ylbutane-1,4-dione;  
 1-[2-chloro-5-(piperidine-1-sulfonyl)phenyl]-4-(3-dimethylaminomethylphenyl)butane-1,4-dione;  
 4-[2-chloro-5-(piperidine-1-sulfonyl)phenyl]-1-phenyl-butan-1-one;  
 4-[2-chloro-5-(piperidine-1-sulfonyl)phenyl]-1-pyridine-3-yl-butan-1-one;  
 1-[2-chloro-5-(piperidine-1-sulfonyl)phenyl]-4-pyridin-2-ylbutane-1,4-dione;  
 1-[2-methyl-5-(piperidine-1-sulfonyl)phenyl]-4-pyridin-2-ylbutane-1,4-dione;  
 4-[2-chloro-5-(piperidine-1-sulfonyl)phenyl]-1-pyridine-2-yl-butan-1-one;  
 1-(4-chloro-3-(5-thiophene-2-yl-(1,2,4)oxadiazol-3-yl methoxy methyl)-benzene sulfonyl)-piperidine;  
 (3-(3-benzenesulfinyl-propenyl)-4-chloro-benzenesulfonyl)-piperidine;  
 2-[2-chloro-5-(piperidine-1-sulfonyl)benzyloxy]-1-pyrrolo[2,3-b]pyridin-1-ylethanone; and  
 2-[2-chloro-5-(piperidine-1-sulfonyl)benzylamino]-1-piperidin-1-ylethanone.

7. A compound according to any one of claims 1 – 6 for use as a medicament.

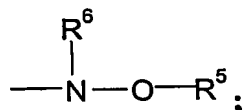


8. The use of a compound according to any one of claims 1 – 6 in the manufacture of a medicament for the therapy of neurological and psychiatric disorders associated with glutamate dysfunction.
9. A pharmaceutical composition comprising a compound according to any one of claims 1 – 6, and a pharmaceutically acceptable carrier or excipient.
10. A method for the therapy of neurological and psychiatric disorders associated with glutamate dysfunction in a warm-blooded animal in need of such therapy, comprising the step of administering to said animal a therapeutically effective amount of a compound according to any one of claims 1 – 6.
11. A method for the therapy of neurological and psychiatric disorders associated with glutamate dysfunction in a warm-blooded animal in need of such therapy, comprising the step of administering to said animal a therapeutically effective amount of a composition according to claim 9.
12. A method for preparing a compound of formula IV



wherein

R is H, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkylamino, optionally substituted cycloalkylamino, optionally substituted arylamino, optionally substituted aminoaryl, optionally substituted heteroarylamino, optionally substituted aminoheteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, heteroaryl substituted with an optionally substituted heteroaryl group, or



$R^1$  and  $R^2$  are each, independently, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted alkylamino, or a divalent  $C_{1-8}$  group, or  $R^1$ ,  $R^2$ , and the N to which they are attached, in combination, form a heterocycle which is optionally substituted with one or more substituents;

Y is  $CH_2$ ,  $NR^8$ , O, S, SO, or  $SO_2$ ;

Z is, at each position, independently, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy, or optionally substituted alkylamino;

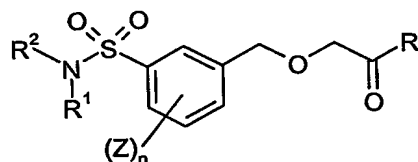
n is 0, 1, 2, 3, or 4; and

$R^5$ ,  $R^6$ ,  $R^8$  and are each, independently, H or optionally substituted alkyl,

said method comprising:

- reacting a compound of formula I with chlorosulphonic acid to provide a compound of formula II;
- reacting the compound of formula II with an amine to provide a compound of formula III; and
- alkylating the compound of formula III to give a compound of formula IV.

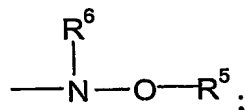
13. A method for preparing a compound of formula VI



VI

wherein

R is H, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkylamino, optionally substituted cycloalkylamino, optionally substituted arylamino, optionally substituted aminoaryl, optionally substituted heteroarylamino, optionally substituted aminoheteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, heteroaryl substituted with an optionally substituted heteroaryl group, or



$R^1$  and  $R^2$  are each, independently, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted alkylamino, or a divalent  $C_{1-8}$  group, or  $R^1$ ,  $R^2$ , and the N to which they are attached, in combination, form a heterocycle which is optionally substituted with one or more substituents;

Z is, at each position, independently, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy, or optionally substituted alkylamino;

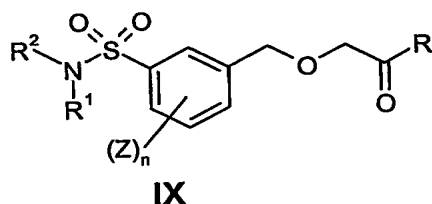
n is 0, 1, 2, 3, or 4; and

$R^5$  and  $R^6$  are each, independently, H or optionally substituted alkyl,

said method comprising:

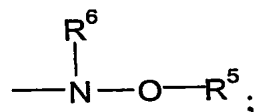
- a) reducing a compound of formula III to a compound of formula V; and
- b) alkylating the compound of formula V to obtain a compound of formula VI.

14. A method for preparing a compound of formula IX



wherein

R is H, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkylamino, optionally substituted cycloalkylamino, optionally substituted arylamino, optionally substituted aminoaryl, optionally substituted heteroarylamino, optionally substituted aminoheteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, heteroaryl substituted with an optionally substituted heteroaryl group, or



$R^1$  and  $R^2$  are each, independently, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted alkylamino, or a divalent  $C_{1-8}$  group, or  $R^1$ ,  $R^2$ , and the N to which they are attached, in combination, form a heterocycle which is optionally substituted with one or more substituents;

Z is, at each position, independently, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy, or optionally substituted alkylamino;

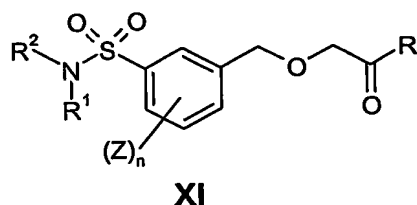
n is 0, 1, 2, 3, or 4; and

R<sup>5</sup> and R<sup>6</sup> are each, independently, H or optionally substituted alkyl,

said method comprising:

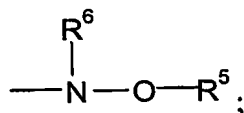
- a) alkylating a compound of formula V to provide a compound of formula VII;
- b) deprotecting the compound of formula VII with formic acid to yield a compound of formula VIII; and
- c) reacting the compound of formula VIII to yield a compound of formula IX.

15. A method for preparing a compound of formula XI



wherein

R is H, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkylamino, optionally substituted cycloalkylamino, optionally substituted arylamino, optionally substituted aminoaryl, optionally substituted heteroarylamino, optionally substituted aminoheteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, heteroaryl substituted with an optionally substituted heteroaryl group, or



R<sup>1</sup> and R<sup>2</sup> are each, independently, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted alkylamino, or a divalent C<sub>1-8</sub> group, or R<sup>1</sup>, R<sup>2</sup>, and the N to which they are attached, in combination, form a heterocycle which is optionally substituted with one or more substituents;

Z is, at each position, independently, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy, or optionally substituted alkylamino;

n is 0, 1, 2, 3, or 4; and

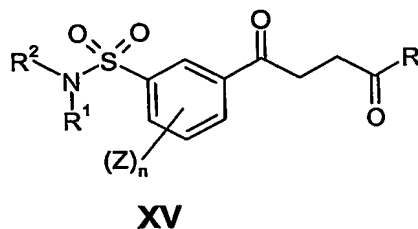
R<sup>5</sup> and R<sup>6</sup> are each, independently, H or optionally substituted alkyl,

said method comprising:

- a) alkylating a compound of formula V with 2-chloro-N-methoxy-N-methylacetamide to provide a compound of formula X; and
- b) reacting the compound of formula X with an organometallic reagent to yield a compound of formula XI.

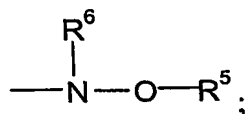
16. The method of claim 15, wherein the organometallic agent is selected from a Grignard reagent and an organolithium reagent.

17. A method for preparing a compound of formula XV



wherein

R is H, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkylamino, optionally substituted cycloalkylamino, optionally substituted arylamino, optionally substituted aminoaryl, optionally substituted heteroarylamino, optionally substituted aminoheteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, heteroaryl substituted with an optionally substituted heteroaryl group, or



R<sup>1</sup> and R<sup>2</sup> are each, independently, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted alkylamino, or a divalent C<sub>1-8</sub> group, or R<sup>1</sup>, R<sup>2</sup>, and the N to which they are attached, in combination, form a heterocycle which is optionally substituted with one or more substituents;

Z is, at each position, independently, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy, or optionally substituted alkylamino;

n is 0, 1, 2, 3, or 4; and

R<sup>5</sup> and R<sup>6</sup> are each, independently, H or optionally substituted alkyl,

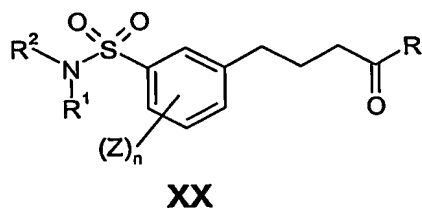
said method comprising:

- a) converting a compound of formula III to the corresponding acid chloride followed by reaction with a Grignard reagent derived from 1-bromo-3,3-dimethoxypropane to yield a compound of formula XII;
- b) converting the compound of formula XII to a compound of formula XIII;
- c) reacting the compound of formula XIII with an organometallic reagent to provide a compound of formula XIV; and
- d) oxidizing the compound of formula XIV to yield a compound of formula XV.

18. The method of claim 17, wherein converting step b) occurs under acidic conditions.

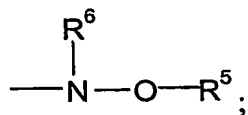
19. The method of claim 17, wherein the organometallic reagent of step c) is selected from a Grignard reagent and an organolithium reagent.

20. A method for preparing a compound of formula XX



wherein

R is H, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkylamino, optionally substituted cycloalkylamino, optionally substituted arylamino, optionally substituted aminoaryl, optionally substituted heteroarylamino, optionally substituted aminoheteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, heteroaryl substituted with an optionally substituted heteroaryl group, or



R<sup>1</sup> and R<sup>2</sup> are each, independently, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted alkylamino, or a divalent C<sub>1-8</sub> group, or R<sup>1</sup>, R<sup>2</sup>, and the N to which they are

attached, in combination, form a heterocycle which is optionally substituted with one or more substituents;

Z is, at each position, independently, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy, or optionally substituted alkylamino;

n is 0, 1, 2, 3, or 4; and

R<sup>5</sup> and R<sup>6</sup> are each, independently, H or optionally substituted alkyl,

said method comprising:

- a) reducing a compound of formula XII to a compound of formula XVI;
- b) reducing the compound of formula XVI to provide a compound of formula XVII;
- c) oxidizing the compound of formula XVII to a compound of formula XVIII;
- d) alkylating the compound of formula XVIII to provide a compound of formula XIX; and
- e) oxidizing the compound of formula XIX to provide a compound of formula XX.